## (19) World Intellectual Property Organization International Bureau



### | 1881 | 1884 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1885 | 1

#### (43) International Publication Date 4 September 2003 (04.09.2003)

**PCT** 

# (10) International Publication Number WO 03/072553 A1

- (51) International Patent Classification<sup>7</sup>: C07D 263/20, 413/10, 417/04, 413/04, 471/04, 417/10, 261/12, 307/33, 307/58, C07F 9/6558, A61K 31/421, 31/422, A61P 31/04 // (C07D 471/04, 221:00)
- (21) International Application Number: PCT/US03/03125
- (22) International Filing Date: 24 February 2003 (24.02.2003)
- (25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/359,495

25 February 2002 (25.02.2002) US

- (71) Applicant (for all designated States except US): PHAR-MACIA & UPJOHN COMPANY [US/US]; 301 Henrietta Street, Kalamazoo, MI 49001 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): THOMAS, Richard, C. [US/US]; 2166 Wild Cherry Lane, Kalamazoo, MI 49009 (US). POEL, Toni-Jo [US/US]; 304 Anderson, Wayland, MI 49348 (US). BARBACHYN, Michael, R. [US/US]; 2900 Redbud Trail, Kalamazoo, MI 49009 (US). GORDEEV, Mikhail, F. [US/US]; 5072 Stone Canyon Drive, Castro Valley, CA 94552 (US). LUEHR, Gary, W. [US/US]; 28570 Fox Hollow Drive, Hayward, CA 94542 (US). RENSLO, Adam [US/US];

5551 Lawton Avenue, Oakland, CA 94618 (US). **SINGH, Upinder** [IN/US]; 34229 Topelo Street, Fremont, CA 94555 (US).

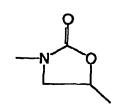
- (74) Agent: O'BRIEN, Jonathan; Global Intellectual Property, Pharmacia & Upjohn Company, 301 Henrietta Street, Kalamazoo, MI 49001 (US).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

#### Published:

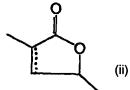
with international search report

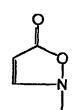
For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: N-ARYL-2-OXAZOLIDINONE-5-CARBOXAMIDES AND THEIR DERIVATIVES AND THEIR USE AS ANTIBACTERIALS



(i)





(iii)

03/072553 A1

(57) Abstract: Compounds of formula B-C-A-CO-NH-R<sub>1</sub>, wherein A is structure i, ii or iii: formulae (I), (II), (III). C is optionally substituted aryl or heteroaryl, and B is a specified cyclic moiety, or C and B together are a heterobicyclic moiety, are useful as antibacterial agents.